(non-U.S. corporation)

NUMBER KIND DATE __________ PATENT INFORMATION: APPLICATION INFO.: US 2003053960 A1 20030320 US 2002-223707 A1 20020819 (10) RELATED APPLN. INFO.: Continuation of Ser. No. WO 2001-NL133, filed on 19 Feb 2001, UNKNOWN NUMBER DATE -----PRIORITY INFORMATION: EP 2000-200550 20000217 DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION LEGAL REPRESENTATIVE: BANNER & WITCOFF, LTD., 28 STATE STREET, 28th FLOOR, BOSTON, MA, 02109 NUMBER OF CLAIMS: 12 EXEMPLARY CLAIM: NUMBER OF DRAWINGS: 6 Drawing Page(s) LINE COUNT: 776 CAS INDEXING IS AVAILABLE FOR THIS PATENT. The invention relates to a powder formulation for administration by inhalation comprising an active substance and a pharmaceutically acceptable excipient, which composition has the form of a physical mixture and comprises from 5 to 25 wt. % of the excipient, and wherein the active substance has a particle size distribution of from 0.5 to 10 .mu.m, and wherein the excipient has a particle size distribution of from 15 to 500 .mu.m. ANSWER 8 OF 21 USPATFULL on STN ACCESSION NUMBER: 2003:40663 USPATFULL TITLE: Dry powder compositions having improved dispersivity INVENTOR(S): Kuo, Mei-Chang, Palo Alto, CA, United States Lechuga-Ballesteros, David, Santa Clara, CA, United States PATENT ASSIGNEE(S): Inhale Therapeutic Systems, Inc., San Carlos, CA, United States (U.S. corporation) NUMBER KIND DATE ----- ---- ----- ------US 6518239 B1 20030211 US 2000-548759 20000413 PATENT INFORMATION: APPLICATION INFO.: 20000413 (9) NUMBER DATE -----US 2000-178415P 20000127 (60) PRIORITY INFORMATION: US 2000-178383P 20000127 (60) US 1999-172769P 19991220 (60) US 1999-164236P 19991108 (60) US 1999-162451P 19991029 (60) DOCUMENT TYPE: Utility

FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Park, Hankyel T.

LEGAL REPRESENTATIVE: Evans, Susan T., Cagan, Felissa H.

NUMBER OF CLAIMS: 40 EXEMPLARY CLAIM:

NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)

LINE COUNT: 1632

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention provides a highly dispersible formulation comprising an active agent and a dipeptide or tripeptide comprising at least two leucyl residues. The composition of the invention possesses superior aerosol properties and is thus preferred for aerosolized

administration to the lung. Also provided are a method for (i) increasing the dispersibility of an active-agent containing formulation for administration to the lung, and (ii) delivery of the composition to the lungs of a subject.

L4 ANSWER 9 OF 21 USPATFULL on STN

ACCESSION NUMBER:

2003:33158 USPATFULL

TITLE:

Pulmonary delivery in treating disorders of the central

nervous system

INVENTOR (S):

Bartus, Raymond T., Sudbury, MA, United States Emerich, Dwaine F., Cranston, RI, United States

PATENT ASSIGNEE(S):

Advanced Inhalation Research, Inc., Cambridge, MA,

United States (U.S. corporation)

NUMBER KIND DATE

PATENT INFORMATION:

-----US 6514482 B1 20030204 US 2000-665252 20000919 (9)

APPLICATION INFO.: DOCUMENT TYPE:

Utility GRANTED

FILE SEGMENT: PRIMARY EXAMINER:

Dees, Jose' G.

PRIMARY EXAMINER: Dees, Jose' G. ASSISTANT EXAMINER: Haghighatian, M.

LEGAL REPRESENTATIVE:

Hamilton, Brook, Smith & Reynolds, P.C.

NUMBER OF CLAIMS:

42

EXEMPLARY CLAIM: NUMBER OF DRAWINGS:

15 Drawing Figure(s); 9 Drawing Page(s)

LINE COUNT:

1360

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

A method of pulmonary delivery of a medicament, for example a dopamine precursor or a dopamine agonist, which includes administering to the respiratory tract of a patient in need of rescue therapy particles comprising an effective amount of a medicament. The particles are delivered to the pulmonary system and are released into the blood stream and delivered to the medicament's site of action in a time sufficiently short to provide the rescue therapy. In addition to the medicament, the particles can include other materials such as, for example, phospholipids, amino acids, combinations thereof and others. Preferred particles have a tap density of less than about 0.4 g/cm.sup.3.

ANSWER 10 OF 21 USPATFULL on STN

ACCESSION NUMBER:

2003:23278 USPATFULL

TITLE:

Control of process humidity to produce large, porous

INVENTOR(S):

Chen, Donghao, Lexington, MA, UNITED STATES Batycky, Richard P., Newton, MA, UNITED STATES Johnston, Lloyd, Belmont, MA, UNITED STATES Mintzes, Jeffrey, Brighton, MA, UNITED STATES

PATENT ASSIGNEE(S):

Advanced Inhalation Research, Inc., Cambridge, MA,

UNITED STATES, 02139 (U.S. corporation)

NUMBER KIND DATE _____

PATENT INFORMATION: APPLICATION INFO.:

US 2003017113

A1 20030123 US 2001-837620 A1 20010418

DOCUMENT TYPE: FILE SEGMENT:

Utility APPLICATION

LEGAL REPRESENTATIVE:

HAMILTON, BROOK, SMITH & REYNOLDS, P.C., 530 VIRGINIA

(9)

ROAD, P.O. BOX 9133, CONCORD, MA, 01742-9133

NUMBER OF CLAIMS:

EXEMPLARY CLAIM:

NUMBER OF DRAWINGS:

4 Drawing Page(s)

LINE COUNT:

1000

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

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The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).
=> s 13 and (MMAD or (aerodynamic diameter))
L4
            21 L3 AND (MMAD OR (AERODYNAMIC DIAMETER))
=> s 14 and flake
=> s 14 and flake
L5
             1 L4 AND FLAKE
=> s 14 and flake?
             1 L4 AND FLAKE?
1.6
=> d l6 ibib abs
'ABS' IS NOT A VALID FORMAT FOR FILE 'EUROPATFULL'
The following are valid formats:
MAX ----- AN, ED, UP, EW, FS, TI, TIDE, TIFR, IN, PA, PAN, AG, AGN,
             OS, SO, DT, LA, DS, PIT, PI, OD, AI, PRAI, RLI, NTE, REP,
             REN, IC (ICM, ICS), ICA, ICI, CM, FA, GIS, PGC, CLMN, AB,
             ABDE, ABFR, DETD, DETDDE, DETDFR, CLMDE, CLMFR
MAXG ----- MAX plus GI
MAX.OS ---- MAX, OS only
MAXG.OS ---- MAX.OS plus GI
MAX.PS ---- MAX, PS only
{\tt IMAX} ----- {\tt MAX}, indented with text labels
IMAX.OS ---- MAX, indented with text labels, OS only
IMAX.PS ---- MAX, indented with text labels, PS only
ALL ----- AN, ED, UP, EW, FS, TI, IN, PA, PAN, AG, AGN, OS, SO, DT,
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             ICS), ICA, ICI, CM, FA, GIS, PGC, CLMN, AB*, DETD*, CLM*
             (* German or French text if English text is not available)
ALLG ----- ALL plus GI
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IALL ----- ALL, indented with text labels
IALLG ----- IALL plus GI
IALL.OS ---- ALL, indented with text labels, OS only
IALLG.OS --- IALL.OS plus GI
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ALLDE ---- AN, ED, UP, EW, FS, TIDE, IN, PA, PAN, AG, AGN, OS, SO, DT,
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ALLFR ----- AN, ED, UP, EW, FS, TIFR, IN, PA, PAN, AG, AGN, OS, SO, DT,
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             (* English or German text if French text is not available)
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BRIEFG ---- BRIEF plus GI
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IBRIEF.OS -- BRIEF, indented with text labels, OS only
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IBRIEF.PS -- BRIEF, indented with text labels, PS only
BIB ----- AN, ED, UP, EW, FS, TI, TIDE, TIFR, IN, PA, PAN, AG, AGN,
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IBIB.OS ---- BIB, indented with text labels, OS only
IBIB.PS ---- BIB, indented with text labels, PS only
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STD ----- AN, ED, UP, EW, FS, TI, TIDE, TIFR, IN, PA, SO, DS, PIT, PI,
             OD, AI, PRAI, RLI, NTE, REP, REN, IC (ICM, ICS), ICA, ICI
STD.OS ----- STD, OS only
STD.PS ---- STD, PS only
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STDU.OS ---- STD, with German headers, OS only
STDU.PS ---- STD, with German headers, PS only
IND ----- ED, UP, EW, FS, IC (ICM, ICS), ICA, ICI
IND.OS ---- IND, OS only
IND.PS ---- IND, PS only
TRI ----- TI, TIDE, TIFR, IC (ICM, ICS), ICA, ICI, CLMN, PGC, FA, GIS
TRI.OS ---- TRI, OS only
TRI.PS ---- TRI, PS only
TX ----- DETD, CLM
TX.OS ----- TX, OS only
TX.PS ----- TX, PS only
TXDE ----- DETDDE, CLMDE
TXDE.OS ---- TXDE, OS only
TXDE.PS ---- TXDE, PS only
TXFR ----- DETDFR, CLMFR
TXFR.OS ---- TXFR, OS only
TXFR.PS ---- TXFR, PS only
To display a particular field or fields, enter the display field codes. For a list
```

of display a particular field or fields, enter the display field codes. For a list of display field codes, enter 'HELP DFIELDS' at an arrow prompt (=>). Examples of formats include: 'TI'; 'AN,TI,AU'; 'BIB,CT'; 'TI,CT'.

The order of the terms in the formats is not important, but information will be displayed in the same order as the format specification.

The same formats may be used with the DISPLAY ACC command to display the record for a specified accession number.

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FILE 'HOME' ENTERED AT 14:25:06 ON 08 AUG 2003

=> file caplus uspatful europatful japio medline biosis embase
COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
0.21
0.21

FILE 'CAPLUS' ENTERED AT 14:25:45 ON 08 AUG 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPATFULL' ENTERED AT 14:25:45 ON 08 AUG 2003 CA INDEXING COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'EUROPATFULL' ENTERED AT 14:25:45 ON 08 AUG 2003 COPYRIGHT (c) 2003 WILA Verlag Muenchen (WILA)

FILE 'JAPIO' ENTERED AT 14:25:45 ON 08 AUG 2003 COPYRIGHT (C) 2003 Japanese Patent Office (JPO) - JAPIO

FILE 'MEDLINE' ENTERED AT 14:25:45 ON 08 AUG 2003

FILE 'BIOSIS' ENTERED AT 14:25:45 ON 08 AUG 2003 COPYRIGHT (C) 2003 BIOLOGICAL ABSTRACTS INC.(R)

FILE 'EMBASE' ENTERED AT 14:25:45 ON 08 AUG 2003 COPYRIGHT (C) 2003 Elsevier Science B.V. All rights reserved.

=> s (amino acid) and (partic or powder)
) IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system. For a list of commands available to you in the current file, enter "HELP COMMANDS" at an arrow prompt (=>).

- => s (amino acid) and (partic or powder)
 1 FILES SEARCHED...
- <-----

SEARCH ENDED BY USER

2 FILES SEARCHED... SEARCH ENDED BY USER

- => s (amino acid) and (partic? or powder?)
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 - 2 FILES SEARCHED...
 - 3 FILES SEARCHED...
- L1 204349 (AMINO ACID) AND (PARTIC? OR POWDER?)
- => s l1 and leucine
- L2 36535 L1 AND LEUCINE
- => s 12 and (bulk density)
- L3 150 L2 AND (BULK DENSITY)

ENTER DISPLAY FORMAT (STD): ibib ab

L6 ANSWER 1 OF 1 EUROPATFULL COPYRIGHT 2003 WILA on STN

GRANTED PATENT - ERTEILTES PATENT - BREVET DELIVRE

ACCESSION NUMBER: 1137399 EUROPATFULL EW 200320 FS PS

TITLE: IMPROVEMENTS IN OR RELATING TO POWDERS.

VERBESSERUNGEN IN BEZUG AUF PULVER.
AMELIORATIONS APPORTEES A DES POUDRES.

INVENTOR(S): GANDERTON, David, Crooked Chimneys Cheriton Bishop,

Exeter EX6 6JL, GB;

MORTON, David Alexander Vodden, 2nd Floor Flat Linsley

House Beechen Cliff Road, Bath BA2 4QR, GB;

LUCAS, Paul, 5 Felderland Close Felderland Lane, Worth

Deal CT14 OPB, GB

PATENT ASSIGNEE(S): Vectura Limited, 12 St. James's Square, London SW1Y 4RB,

GB

PATENT ASSIGNEE NO: 3050110

AGENT: Jump, Timothy John Simon et al., Venner Shipley & Co. 20

Little Britain, London EC1A 7DH, GB

AGENT NUMBER: 55592

OTHER SOURCE: MEPB2003026 EP 1137399 B1 0017

SOURCE: Wila-EPS-2003-H20-T1

DOCUMENT TYPE: Patent

LANGUAGE: Anmeldung in Englisch; Veroeffentlichung in Englisch DESIGNATED STATES: R AT; R BE; R CH; R CY; R DE; R DK; R ES; R FI; R FR; R

GB; R GR; R IE; R IT; R LI; R LU; R MC; R NL; R PT; R

SE; R LT; R LV; R SI

PATENT INFO.PUB.TYPE: EPB1 EUROPAEISCHE PATENTSCHRIFT (Internationale

Anmeldung)

PATENT INFORMATION:

PATENT NO KIND DATE ***-----EP 1137399 B1 20030514 'OFFENLEGUNGS' DATE: 20011004 APPLICATION INFO.: EP 1999-958404 19991209 PRIORITY APPLN. INFO.: GB 1998-27145 19981209 RELATED DOC. INFO.: WO 99-GB4156 991209 INTAKZ WO 00033811 000615 INTPNR REFERENCE PAT. INFO.: WO 96-23485 A WO 96-32096 A

WO 97-03649 A

=> d his

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FILE 'CAPLUS, USPATFULL, EUROPATFULL, JAPIO, MEDLINE, BIOSIS, EMBASE'

ENTERED AT 14:25:45 ON 08 AUG 2003

L1 204349 S (AMINO ACID) AND (PARTIC? OR POWDER?)

L2 36535 S L1 AND LEUCINE

L3 150 S L2 AND (BULK DENSITY)

L4 21 S L3 AND (MMAD OR (AERODYNAMIC DIAMETER))

L5 1 S L4 AND FLAKE L6 1 S L4 AND FLAKE?

=> d 14 1-21

L4 ANSWER 1 OF 21 USPATFULL on STN

AN 2003:187463 USPATFULL

TI Particulate compositions for improving solubility of poorly soluble agents

```
IN
       Batycky, Richard P., Newton, MA, UNITED STATES
       Grandolfi, George, Milford, OH, UNITED STATES
       Plunkett, Sean, Westborough, MA, UNITED STATES
       Lipp, Michael M., Framingham, MA, UNITED STATES
       Wright, James, Lexington, MA, UNITED STATES
       Advanced Inhalation Research Inc., Cambridge, MA, UNITED STATES (U.S.
PΑ
       corporation)
PΙ
       US 2003129250
                          A1
                               20030710
       US 2002-300726
AΤ
                          A1
                               20021120 (10)
PRAI
       US 2001-331810P
                           20011120 (60)
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FS
LN.CNT 1606
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INCL
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       NCLS: 514/176.000
IC
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       ICM: A61K031-58
       ICS: A61K009-14; A61K009-16
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
     ANSWER 2 OF 21 USPATFULL on STN
Ь4
       2003:187354 USPATFULL
AN
ТT
       Pulmonary delivery of aminoglycosides
IN
       Tarara, Thomas E., Burlingame, CA, UNITED STATES
       Weers, Jeffry G., Half Moon Bay, CA, UNITED STATES
       Venthoye, Geraldine, Foster City, CA, UNITED STATES
PΤ
       US 2003129140
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ΑI
       US 2002-327510
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       US 2001-342827P
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       ICS: A61K009-14; A61K031-704
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
     ANSWER 3 OF 21 USPATFULL on STN
L4
AN
       2003:181404 USPATFULL
ΤI
       Particles for inhalation having rapid release properties
IN
       Schmitke, Jennifer L., Boston, MA, UNITED STATES
       Chen, Donghao, Lexington, MA, UNITED STATES
       Batycky, Richard P., Newton, MA, UNITED STATES
       Edwards, David A., Boston, MA, UNITED STATES
PA
       Advenced Inhalation Research, Inc., Cambridge, MA, UNITED STATES (U.S.
       corporation)
ΡI
       US 2003125236
                         A1
                               20030703
AΙ
       US 2002-179463
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       Continuation-in-part of Ser. No. US 2001-888126, filed on 22 Jun 2001,
RLI
       PENDING Continuation-in-part of Ser. No. US 2000-752109, filed on 29 Dec
       2000, ABANDONED
DT
       Utility
FS
       APPLICATION
LN.CNT 2328
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       NCLS: 514/574.000
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       ICM: A61K038-28
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CAS INDEXING IS AVAILABLE FOR THIS PATENT.
     ANSWER 4 OF 21 USPATFULL on STN
L4
       2003:172669 USPATFULL
AN
       Particles for inhalation having sustained release properties
TI
       Basu, Sujit K., Cambridge, MA, UNITED STATES
IN
       Hrkach, Jeffrey, Cambridge, MA, UNITED STATES
       Lipp, Michael, Framingham, MA, UNITED STATES
       Elbert, Katharina, Cambridge, MA, UNITED STATES
       Edwards, David A., Cambridge, MA, UNITED STATES
       Advanced Inhalation Research, Inc., Cambridge, MA (U.S. corporation)
PA
PΙ
       US 2003118513
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                               20020723 (10)
       US 2002-202616
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       Continuation of Ser. No. US 2000-752109, filed on 29 Dec 2000, ABANDONED
       Utility
DT
FS
       APPLICATION
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       ICM: A61K038-00
       ICS: A61K009-00; A61L009-04
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
     ANSWER 5 OF 21 USPATFULL on STN
L4
ΑN
       2003:172666 USPATFULL
ΤI
       Pulmonary administration of chemically modified insulin
IN
       Patton, John S., Los Altos, CA, UNITED STATES
       Kuo, Mei-Chang, Palo Alto, CA, UNITED STATES
       Harris, J. Milton, Huntsville, AL, UNITED STATES
       Leach, Chester, El Granada, CA, UNITED STATES
       Perkins, Kimberly, Belmont, CA, UNITED STATES
       Bueche, Blaine, Castro Valley, CA, UNITED STATES
       US 2003118510
PΙ
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ΑI
       US 2002-154057
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DT
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       APPLICATION
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       ICM: A61L009-04
       ICS: A61K038-28
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
L4
     ANSWER 6 OF 21 USPATFULL on STN
AN
       2003:99173 USPATFULL
TI
       Particles for inhalation having sustained release properties
IN
       Vanbever, Rita, Brussels, BELGIUM
       Langer, Robert S., Newton, MA, UNITED STATES
       Edwards, David A., Boston, MA, UNITED STATES
       Mintzes, Jeffrey, Brighton, MA, UNITED STATES
       Wang, Jue, Clifton, NJ, UNITED STATES
       Chen, Donghao, Lexington, MA, UNITED STATES
PA
       Massachusetts Institute of Technology, Cambridge, MA, UNITED STATES
       (non-U.S. corporation)
```

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       US 2003068277
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ΑI
       US 2002-94955
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       Continuation of Ser. No. US 2001-909145, filed on 19 Jul 2001, ABANDONED
RLI
       Continuation-in-part of Ser. No. US 1999-394233, filed on 13 Sep 1999,
       PENDING Continuation-in-part of Ser. No. US 1997-971791, filed on 17 Nov
       1997, GRANTED, Pat. No. US 5985309
       US 1997-59004P
                            19970915 (60)
PRAI
DT
       Utility
       APPLICATION
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       NCLM: 424/046.000
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       ICM: A61K009-14
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
     ANSWER 7 OF 21 USPATFULL on STN
L4
AN
       2003:78040 USPATFULL
ΤI
       Powder formulation
TN
       Heijerman, Hendrikus Gerardus M., Zoetermeer, NETHERLANDS
       Le Brun, Petrus Paulus H., The Hague, NETHERLANDS
       Frijlink, Henderik Willem, Eelde, NETHERLANDS
       de Boer, Anne Haaije, Drachten, NETHERLANDS
       Rijksuniversiteit Groningen, Groningen, NETHERLANDS (non-U.S.
PΑ
       corporation)
       US 2003053960
PT
                           Δ1
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ΑI
       US 2002-223707
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                                20020819 (10)
       Continuation of Ser. No. WO 2001-NL133, filed on 19 Feb 2001, UNKNOWN
RLI
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       EP 2000-200550
       Utility
DT
FS
       APPLICATION
LN.CNT 776
INCL
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IC
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       ICM: A61L009-04
       ICS: A61K038-17; A61K031-56; A61K009-14
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
L4
     ANSWER 8 OF 21 USPATFULL on STN
ΑN
       2003:40663 USPATFULL
TТ
       Dry powder compositions having improved dispersivity
TN
       Kuo, Mei-Chang, Palo Alto, CA, United States
       Lechuga-Ballesteros, David, Santa Clara, CA, United States
PA
       Inhale Therapeutic Systems, Inc., San Carlos, CA, United States (U.S.
       corporation)
ΡI
       US 6518239
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ΑI
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       US 2000-178415P
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       US 2000-178383P
                            20000127 (60)
       US 1999-172769P
                            19991220 (60)
       US 1999-164236P
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       US 1999-162451P
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NCL
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       NCLS: 530/300.000
IC
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       ICM: A01N037-18
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ICS: A61K038-00
       514/2; 530/300
EXF
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
     ANSWER 9 OF 21 USPATFULL on STN
L4
AN
       2003:33158 USPATFULL
ΤI
       Pulmonary delivery in treating disorders of the central nervous system
IN
       Bartus, Raymond T., Sudbury, MA, United States
       Emerich, Dwaine F., Cranston, RI, United States
       Advanced Inhalation Research, Inc., Cambridge, MA, United States (U.S.
PΑ
       corporation)
       US 6514482
PΤ
                          R1
                                20030204
ΔΤ
       US 2000-665252
                                20000919 (9)
рπ
       Utility
FS
       GRANTED
LN.CNT 1360
       INCLM: 424/045.000
TNCL
       INCLS: 424/043.000; 424/789.000; 514/220.000; 128/203.150
NCL
       NCLM: 424/045.000
       NCLS: 128/203.150; 424/043.000; 424/489.000; 514/220.000
IC
       [7]
       ICM: A61K009-12
       ICS: A61K009-14; A61K009-72
EXF
       514/220; 514/252; 514/255; 424/45; 424/489; 128/203.15; 560/43
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
L4
     ANSWER 10 OF 21 USPATFULL on STN
AN
       2003:23278 USPATFULL
ТΤ
       Control of process humidity to produce large, porous particles
       Chen, Donghao, Lexington, MA, UNITED STATES
TN
       Batycky, Richard P., Newton, MA, UNITED STATES
       Johnston, Lloyd, Belmont, MA, UNITED STATES
       Mintzes, Jeffrey, Brighton, MA, UNITED STATES
PA
       Advanced Inhalation Research, Inc., Cambridge, MA, UNITED STATES, 02139
       (U.S. corporation)
PΙ
       US 2003017113
                                20030123
                          Α1
AΤ
       US 2001-837620
                               20010418 (9)
                          Α1
DT
       Utility
FS
       APPLICATION
LN.CNT 1000
       INCLM: 424/045.000
INCL
       INCLS: 264/005.000
NCL
       NCLM: 424/045.000
       NCLS: 264/005.000
IC
       [7]
       ICM: A61K009-14
       ICS: A61L009-04; B29B009-00
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
L4
     ANSWER 11 OF 21 USPATFULL on STN
AN
       2002:315079 USPATFULL
TI
       Pulmonary delivery of polyene antifungal agents
IN
       Weickert, Michael, Belmont, CA, UNITED STATES
       Gordon, Marc S., Sunnyvale, CA, UNITED STATES
       Kumar, Sandeep, Sunnyvale, CA, UNITED STATES
       Yang, Bing, Redwood City, CA, UNITED STATES
       Sarwar, Razaq, Fremont, CA, UNITED STATES
PΙ
       US 2002177562
                          A1
                               20021128
AI
       US 2001-32239
                          Α1
                               20011221 (10)
PRAT
       US 2000-257613P
                           20001221 (60)
DT
       Utility
FS
       APPLICATION
LN.CNT 1856
INCL
       INCLM: 514/027.000
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INCLS: 264/005.000
NCL
       NCLM: 514/027.000
       NCLS: 264/005.000
IC
       [7]
       ICM: A61K031-7048
       ICS: B29B009-00
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
     ANSWER 12 OF 21 USPATFULL on STN
L4
AN
       2002:314374 USPATFULL
ΤI
       Storage stable powder compositions of interleukin-4 receptor
IN
       Hastedt, Jayne E., San Carlos, CA, UNITED STATES
       Cabot, Kirsten M., San Francisco, CA, UNITED STATES
       Gong, David K., Foster City, CA, UNITED STATES Hester, Dennis M., Richmond, CA, UNITED STATES
PΙ
       US 2002176846
                           Α1
                                20021128
ΑI
       US 2001-32238
                           A1
                                20011221 (10)
PRAI
       US 2000-256786P
                            20001221 (60)
       Utility
DT
FS
       APPLICATION
LN.CNT 1711
INCL
       INCLM: 424/085.200
NCL
       NCLM: 424/085.200
IC
       [7]
       ICM: A61K038-20
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
L4
     ANSWER 13 OF 21 USPATFULL on STN
AN
       2002:258380 USPATFULL
TI
       Particles for inhalation having rapid release properties
       Schmitke, Jennifer L., Boston, MA, UNITED STATES
IN
       Chen, Donghao, Lexington, MA, UNITED STATES
       Batycky, Richard P., Newton, MA, UNITED STATES
       Edwards, David A., Boston, MA, UNITED STATES
       Hrkach, Jeffrey S., Cambridge, MA, UNITED STATES
PA
       Advanced Inhalation Research, Inc., Cambridge, MA (U.S. corporation)
PΙ
                                20021003
       US 2002141946
                           A1
ΔΤ
       US 2001-888126
                           A1
                                20010622 (9)
RLI
       Continuation-in-part of Ser. No. US 2000-752109, filed on 29 Dec 2000,
       PENDING
       Utility
DT
       APPLICATION
FS
LN.CNT 1786
INCL
       INCLM: 424/046.000
       INCLS: 514/003.000
NCL
       NCLM: 424/046.000
       NCLS: 514/003.000
IC
       [7]
       ICM: A61K038-28
       ICS: A61K009-14
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
T.4
     ANSWER 14 OF 21 USPATFULL on STN
AN
       2002:126881 USPATFULL
       Inhaleable spray dried 4-helix bundle protein powders having
TI
       minimized aggregation
       Stevenson, Cynthia, Mountain View, CA, UNITED STATES
TN
       Hastedt, Jayne E., San Carlos, CA, UNITED STATES
       Lehrman, S. Russ, Los Altos, CA, UNITED STATES
       Chiang, Hi-Shi, San Jose, CA, UNITED STATES
       Bennett, David B., San Jose, CA, UNITED STATES
       Lesikar, David, Palo Alto, CA, UNITED STATES
       Yang, Bing, Redwood City, CA, UNITED STATES
       Gong, David, San Mateo, CA, UNITED STATES
```

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Cabot, Kirsten, San Francisco, CA, UNITED STATES
PA
       Inhale Therapeutic Systems, Inc., San Carlos, CA, UNITED STATES, 94070
       (U.S. corporation)
       US 2002065399
                                20020530
PΙ
                           A1
       US 6569406
                           B2
                                20030527
AΤ
       US 2001-923519
                           Α1
                                20010807 (9)
       US 2000-223144P
PRAI
                            20000807 (60)
       US 2000-228634P
                            20000829 (60)
       US 2000-240478P
                            20001013 (60)
       Utility
DТ
FS
       APPLICATION
LN.CNT 2046
INCL
       INCLM: 530/399.000
       INCLS: 424/046.000; 514/002.000
NCL
       NCLM:
              424/043.000
              128/203.150; 424/046.000; 424/489.000; 514/002.000
       NCLS:
TC
       [7]
       ICM: A61K038-27
       ICS: C07K014-61
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
T.4
     ANSWER 15 OF 21 USPATFULL on STN
AN
       2002:112277 USPATFULL
ΤI
       Pulmonary delivery in treating disorders of the central nervous system
TN
       Bartus, Raymond T., Sudbury, MA, UNITED STATES
       Emerich, Dwaine F., Cranston, RI, UNITED STATES
       Advanced Inhalation Research, Inc., Cambridge, MA, UNITED STATES, 02139
PA
       (U.S. corporation)
       US 2002058009
PΤ
                           A1
                                20020516
ΑI
       US 2001-877734
                           A1
                                20010608 (9)
RLI
       Continuation-in-part of Ser. No. US 2000-665252, filed on 19 Sep 2000,
       PENDING
DT
       Utility
FS
       APPLICATION
LN.CNT 2249
       INCLM: 424/043.000
INCL
NCL
       NCLM: 424/043.000
IC
       [7]
       ICM: A61K009-00
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
L4
     ANSWER 16 OF 21 USPATFULL on STN
AN
       2002:99409 USPATFULL
TТ
       Particles for inhalation having sustained release properties
IN
       Edwards, David A., Boston, MA, UNITED STATES
       Langer, Robert S., Newton, MA, UNITED STATES
       Vanbever, Rita, Brussels, BELGIUM
       Mintzes, Jeffrey, Brighton, MA, UNITED STATES
       Wang, Jue, Clifton, NJ, UNITED STATES
       Chen, Donghao, Quincy, MA, UNITED STATES
PA
       Massachusetts Institute of Technology The Penn State Research Foundation
       (U.S. corporation)
PΤ
       US 2002052310
                           A1
                                20020502
ΑI
       US 2000-752106
                           A1
                                20001229 (9)
       Continuation-in-part of Ser. No. US 1999-394233, filed on 13 Sep 1999,
RLI
       PENDING Continuation-in-part of Ser. No. US 1997-971791, filed on 17 Nov
       1997, GRANTED, Pat. No. US 5985309
PRAI
       US 1997-59004P
                            19970915 (60)
DT
       Utility
FS
       APPLICATION
LN.CNT 1702
INCL
       INCLM: 514/002.000
       INCLS: 424/043.000
NCL
       NCLM: 514/002.000
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NCLS: 424/043.000
IC
       [7]
       ICM: A61K038-17
       ICS: A61K009-00
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
     ANSWER 17 OF 21 USPATFULL on STN
L4
ΑN
       2002:65345 USPATFULL
ΤI
       Highly efficient delivery of a large therapeutic mass aerosol
IN
       Edwards, David A., Boston, MA, UNITED STATES
       Batycky, Richard P., Newton, MA, UNITED STATES
       Johnston, Lloyd, Belmont, MA, UNITED STATES
       Advanced Inhalation Research, Inc., Cambridge, MA (U.S. corporation)
PA
PΙ
       US 2002035993
                          A1
                                20020328
AΙ
       US 2001-878146
                          A1
                                20010608 (9)
       Continuation-in-part of Ser. No. US 2000-591307, filed on 9 Jun 2000,
RLI
       PENDING
       Utility
DT
FS
       APPLICATION
LN.CNT 2844
INCL
       INCLM: 128/203.150
NCL
       NCLM: 128/203.150
TC
       [7]
       ICM: B05D007-14
       ICS: A61M015-00; A61M016-00; B65D083-06
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
     ANSWER 18 OF 21 USPATFULL on STN
L4
AN
       2002:60643 USPATFULL
ΤI
       Particles for inhalation having sustained release properties
IN
       Edwards, David A., Boston, MA, UNITED STATES
       Hrkach, Jeffrey S., Cambridge, MA, UNITED STATES
PA
       Advanced Inhalation Research Inc., Cambridge, MA, UNITED STATES (U.S.
       corporation)
       US 2002034477
PТ
                           A1
                                20020321
AΤ
       US 2001-822716
                                20010330 (9)
                          Α1
RLI
       Continuation-in-part of Ser. No. US 1999-383054, filed on 25 Aug 1999,
       PENDING
ΤП
       Utility
FS
       APPLICATION
LN.CNT 1396
INCL
       INCLM: 424/043.000
       INCLS: 514/004.000
NCL
       NCLM: 424/043.000
       NCLS: 514/004.000
IC
       [7]
       ICM: A61K038-28
       ICS: A61K009-00
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
L4
     ANSWER 19 OF 21 USPATFULL on STN
AN
       2001:193968 USPATFULL
TТ
       Modulation of release from dry powder formulations
IN
       Basu, Sujit K., Cambridge, MA, United States
       Caponetti, Giovanni, Somerville, MA, United States
       Deaver, Daniel R., Franklin, MA, United States
       Elbert, Katharina J., Cambridge, MA, United States
       Hrkach, Jeffrey S., Cambridge, MA, United States
       Lipp, Michael M., Framingham, MA, United States
PA
       Advanced Inhalation Research, Inc., Cambridge, MA, United States, 02139
       (U.S. corporation)
PΤ
       US 2001036481
                          A1
                                20011101
AΤ
       US 2001-792869
                          A1
                                20010223 (9)
RLT
       Continuation-in-part of Ser. No. US 2000-644736, filed on 23 Aug 2000,
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PENDING
       US 1999-150742P
PRAI
                           19990825 (60)
DT
       Utility
FS
       APPLICATION
LN.CNT 1529
INCL
       INCLM: 424/499.000
       INCLS: 424/450.000
NCL
       NCLM:
             424/499.000
       NCLS: 424/450.000
IC
       [7]
       ICM: A61K009-14
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
L4
       ANSWER 20 OF 21 EUROPATFULL COPYRIGHT 2003 WILA on STN
GRANTED PATENT - ERTEILTES PATENT - BREVET DELIVRE
AN
       1137399 EUROPATFULL ED 20030519 EW 200320 FS PS
TIEN
       IMPROVEMENTS IN OR RELATING TO POWDERS.
TIDE
       VERBESSERUNGEN IN BEZUG AUF PULVER.
       AMELIORATIONS APPORTEES A DES POUDRES.
TIFR
IN
       GANDERTON, David, Crooked Chimneys Cheriton Bishop, Exeter EX6 6JL, GB;
       MORTON, David Alexander Vodden, 2nd Floor Flat Linsley House Beechen
       Cliff Road, Bath BA2 4QR, GB;
       LUCAS, Paul, 5 Felderland Close Felderland Lane, Worth Deal CT14 OPB, GB
PA
       Vectura Limited, 12 St. James's Square, London SW1Y 4RB, GB
SO
       Wila-EPS-2003-H20-T1
       R AT; R BE; R CH; R CY; R DE; R DK; R ES; R FI; R FR; R GB; R GR; R IE;
DS
       R IT; R LI; R LU; R MC; R NL; R PT; R SE; R LT; R LV; R SI
PIT
       EPB1 EUROPAEISCHE PATENTSCHRIFT
                                          (Internationale Anmeldung)
PΙ
       EP 1137399
                            B1 20030514
OD
                               20011004
ΑI
       EP 1999-958404
                               19991209
PRAI
       GB 1998-27145
                               19981209
       WO 99-GB4156
RLI
                          991209 INTAKZ
       WO 00033811
                          000615 INTPNR
REP
       WO 96-23485 A
                               WO 96-32096 A
       WO 97-03649 A
       ICM A61K009-00
IC
       ICS A61K009-14
                           A61K009-72
       ANSWER 21 OF 21 EUROPATFULL COPYRIGHT 2003 WILA on STN
L4
PATENT APPLICATION - PATENTANMELDUNG - DEMANDE DE BREVET
AN
       1129705 EUROPATFULL ED 20010917 EW 200136 FS OS
TIEN
       Powder formulation for inhalation.
TIDE
       Pulverformulierung zur Inhalation.
TIFR
       Formulation en poudre pour inhalation.
IN
       Heijerman, Hendrikus, Gerardus Maria, Azuriet 14, 2719 GL Zoetermeer,
       Frijlink, Henderik Willem, Hemstukken 19, 9761 KM Eelde, NL;
       Le Brun, Petrus Paulus Hendricus, De Mildestraat 21, 2596 SV The Haque,
       NL;
       de Boer, Anne Haaije, Bouriciuslaan 53, 9203 PC Drachten, NL
PA
       Rijksuniversiteit te Groningen, Broerstraat 5, 9712 CP Groningen, NL
SO
       Wila-EPZ-2001-H36-T1b
DS
       R AT; R BE; R CH; R CY; R DE; R DK; R ES; R FI; R FR; R GB; R GR; R IE;
       R IT; R LI; R LU; R MC; R NL; R PT; R SE; R AL; R LT; R LV; R MK; R RO;
PIT
       EPA1 EUROPAEISCHE PATENTANMELDUNG
PΙ
       EP 1129705
                            A1 20010905
OD
                               20010905
ΑI
       EP 2000-200550
                               20000217
```

AB Spray dried particles having specified aerodynamic characteristics are produced by atomizing a liquid feed and contacting the liquid feed with a drying gas, such as, for example, air or nitrogen. The humidity of the drying gas is controlled to a value, expressed, for instance, as dew point, which is known to produce particles having a specified tap density or aerodynamic diameter. Particles having a volume median geometric diameter greater than about 5 microns and a tap density less than about 0.4 g/cm.sup.3 are preferred.

ANSWER 11 OF 21 USPATFULL on STN T.4

ACCESSION NUMBER:

2002:315079 USPATFULL

TTTLE:

INVENTOR(S):

Pulmonary delivery of polyene antifungal agents Weickert, Michael, Belmont, CA, UNITED STATES Gordon, Marc S., Sunnyvale, CA, UNITED STATES Kumar, Sandeep, Sunnyvale, CA, UNITED STATES Yang, Bing, Redwood City, CA, UNITED STATES Sarwar, Razaq, Fremont, CA, UNITED STATES

NUMBER KIND DATE -----PATENT INFORMATION: US 2002177562 A1 20021128 US 2001-32239 A1 20011221 APPLICATION INFO.: 20011221 (10)

NUMBER DATE

PRIORITY INFORMATION:

US 2000-257613P 20001221 (60)

DOCUMENT TYPE:

Utility

APPLICATION

FILE SEGMENT:

LEGAL REPRESENTATIVE: INHALE THERAPEUTIC SYSTEMS, INC, 150 INDUSTRIAL ROAD,

SAN CARLOS, CA, 94070

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

60

NUMBER OF DRAWINGS: 1 Drawing Page(s)

LINE COUNT:

1856

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention provides spray-dried polyene compositions for oral inhalation to the lung. The polyene antifungal compositions demonstrate superior aerosol properties, do not exhibit appreciable degradation of the polyene upon spray-drying, and are useful in the treatment and prophylaxis of both pulmonary and systemic fungal infections.

ANSWER 12 OF 21 USPATFULL on STN L4

ACCESSION NUMBER:

2002:314374 USPATFULL

TITLE:

Storage stable powder compositions of

interleukin-4 receptor

INVENTOR(S):

Hastedt, Jayne E., San Carlos, CA, UNITED STATES Cabot, Kirsten M., San Francisco, CA, UNITED STATES Gong, David K., Foster City, CA, UNITED STATES

Hester, Dennis M., Richmond, CA, UNITED STATES

KIND DATE NUMBER -----PATENT INFORMATION: US 2002176846 A1 20021128 US 2001-32238 A1 20011221 APPLICATION INFO.: A1 20011221 (10)

> NUMBER DATE -----

PRIORITY INFORMATION:

US 2000-256786P 20001221 (60)

DOCUMENT TYPE:

Utility

FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: INHALE THERAPEUTIC SYSTEMS, INC, 150 INDUSTRIAL ROAD,

SAN CARLOS, CA, 94070

NUMBER OF CLAIMS:

43

EXEMPLARY CLAIM:

2 Drawing Page(s) NUMBER OF DRAWINGS:

LINE COUNT: 1711

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention provides storage stable dry powder

compositions of IL-4R. The powder compositions demonstrate superior chemical and physical stability over their solution

counterparts, particularly upon storage under varying

conditions of temperature and humidity. Moreover, the powders,

as prepared, possess good aerosol properties, which are maintained upon

storage.

ANSWER 13 OF 21 USPATFULL on STN L4

ACCESSION NUMBER: 2002:258380 USPATFULL

TITLE: Particles for inhalation having rapid release

properties

INVENTOR (S): Schmitke, Jennifer L., Boston, MA, UNITED STATES

> Chen, Donghao, Lexington, MA, UNITED STATES Batycky, Richard P., Newton, MA, UNITED STATES Edwards, David A., Boston, MA, UNITED STATES Hrkach, Jeffrey S., Cambridge, MA, UNITED STATES

PATENT ASSIGNEE(S): Advanced Inhalation Research, Inc., Cambridge, MA (U.S.

corporation)

NUMBER KIND DATE -----

US 2002141946 A1 20021003 US 2001-888126 A1 20010622 (9) PATENT INFORMATION:

APPLICATION INFO.:

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 2000-752109, filed

on 29 Dec 2000, PENDING

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: HAMILTON, BROOK, SMITH & REYNOLDS, P.C., 530 VIRGINIA

ROAD, P.O. BOX 9133, CONCORD, MA, 01742-9133

NUMBER OF CLAIMS: 60 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 7 Drawing Page(s)

LINE COUNT: 1786

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The invention generally relates to formulations having particles comprising phospholipids, bioactive agent and excipients and the pulmonary delivery thereof. Dry powder inhaled insulin formulations are disclosed. Formulations comprising DPPC, insulin and sodium citrate which are useful in the treatment of diabetes are disclosed. Also, the invention relates to a method of for the pulmonary delivery of a bioactive agent comprising administering to the respiratory tract of a patient in need of treatment, or diagnosis an effective amount of particles comprising a bioactive agent or any combination thereof in association, wherein release of the agent from the administered particles occurs in a rapid fashion.

L4ANSWER 14 OF 21 USPATFULL on STN

ACCESSION NUMBER: 2002:126881 USPATFULL

TITLE: Inhaleable spray dried 4-helix bundle protein

powders having minimized aggregation

Stevenson, Cynthia, Mountain View, CA, UNITED STATES INVENTOR(S):

Hastedt, Jayne E., San Carlos, CA, UNITED STATES Lehrman, S. Russ, Los Altos, CA, UNITED STATES Chiang, Hi-Shi, San Jose, CA, UNITED STATES Bennett, David B., San Jose, CA, UNITED STATES Lesikar, David, Palo Alto, CA, UNITED STATES Yang, Bing, Redwood City, CA, UNITED STATES Gong, David, San Mateo, CA, UNITED STATES

Cabot, Kirsten, San Francisco, CA, UNITED STATES

PATENT ASSIGNEE(S): Inhale Therapeutic Systems, Inc., San Carlos, CA,

UNITED STATES, 94070 (U.S. corporation)

NUMBER KIND DATE ------US 2002065399 A1 20020530 PATENT INFORMATION:

US 6569406 B2 20030527 US 2001-923519 A1 20010807 (9) APPLICATION INFO.:

> NUMBER DATE -----

PRIORITY INFORMATION:

US 2000-223144P 20000807 (60) US 2000-228634P 20000829 (60) US 2000-240478P 20001013 (60)

DOCUMENT TYPE: Utility

FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: INHALE THERAPEUTIC SYSTEMS, INC, 150 INDUSTRIAL ROAD,

SAN CARLOS, CA, 94070

NUMBER OF CLAIMS: 52 EXEMPLARY CLAIM: 1 LINE COUNT: 2046

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention provides highly dispersible spray-dried

powder compositions, and in particular, inhaleable dry

powder compositions for aerosolized delivery to the lungs. The

powders of the invention are produced by spray drying a 4

.alpha.-helix bundle protein under conditions which both (i) protect the

protein from aggregation and (ii) provide particles suitable

for inhalation (i.e., demonstrating superior aerosol performance).

ANSWER 15 OF 21 USPATFULL on STN L4

ACCESSION NUMBER: 2002:112277 USPATFULL

TITLE: Pulmonary delivery in treating disorders of the central

nervous system

INVENTOR(S): Bartus, Raymond T., Sudbury, MA, UNITED STATES

Emerich, Dwaine F., Cranston, RI, UNITED STATES

PATENT ASSIGNEE(S): Advanced Inhalation Research, Inc., Cambridge, MA,

UNITED STATES, 02139 (U.S. corporation)

NUMBER KIND DATE -----

PATENT INFORMATION: US 2002058009 A1 20020516 US 2001-877734 A1 20010608 APPLICATION INFO.: (9)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 2000-665252, filed

on 19 Sep 2000, PENDING

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: Ms. Carolyn S. Elmore, HAMILTON, BROOK, SMITH &

REYNOLDS, P.C., Two Militia Drive, Lexington, MA,

02421-4799

NUMBER OF CLAIMS: 65 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 24 Drawing Page(s)

LINE COUNT: 2249

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

A method for treating a disorder of the central nervous system includes administering to the respiratory tract of a patient a drug which is delivered to the pulmonary system, for instance to the alveoli or the deep lung. The drug is administered at a dose which is at least about two-fold less than the dose required by oral administration. Particles that include the drug can be employed. Preferred particles have a tap density of less than about 0.4 g/cm.sup.3. In addition to the medicament, the particles can include other materials such as, for example, phospholipids, amino acids, combinations thereof and others.

L4 ANSWER 16 OF 21 USPATFULL on STN

ACCESSION NUMBER: 2002:99409 USPATFULL

TITLE: Particles for inhalation having sustained

release properties

INVENTOR(S): Edwards, David A., Boston, MA, UNITED STATES

Langer, Robert S., Newton, MA, UNITED STATES

Vanbever, Rita, Brussels, BELGIUM

Mintzes, Jeffrey, Brighton, MA, UNITED STATES

Wang, Jue, Clifton, NJ, UNITED STATES Chen, Donghao, Quincy, MA, UNITED STATES

PATENT ASSIGNEE(S): Massachusetts Institute of Technology The Penn State

Research Foundation (U.S. corporation)

PATENT INFORMATION: US 2002052310 A1 20020502 APPLICATION INFO.: US 2000-752106 A1 20001229 (9)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1999-394233, filed

on 13 Sep 1999, PENDING Continuation-in-part of Ser. No. US 1997-971791, filed on 17 Nov 1997, GRANTED, Pat.

No. US 5985309

NUMBER DATE

PRIORITY INFORMATION: US 1997-59004P 19970915 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: Carolyn S. Elmore, HAMILTON, BROOK, SMITH & REYNOLDS,

P.C., Two Militia Drive, Lexington, MA, 02421-4799

NUMBER OF CLAIMS: 34 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 3 Drawing Page(s)

LINE COUNT: 1702

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The invention generally relates to a method for pulmonary delivery of therapeutic, prophylactic and diagnostic agents to a patient wherein the agent is released in a sustained fashion, and to particles suitable for use in the method. In particular, the invention relates to a method for the pulmonary delivery of a therapeutic, prophylactic or diagnostic agent comprising administering to the respiratory tract of a patient in need of treatment, prophylaxis or diagnosis an effective amount of particles comprising a therapeutic, prophylactic or diagnostic agent or any combination thereof in association with a charged lipid, wherein the charged lipid has an overall net charge which is opposite to that of the agent upon association with the agent. Release of the agent from the administered

particles occurs in a sustained fashion.

L4 ANSWER 17 OF 21 USPATFULL on STN

ACCESSION NUMBER: 2002:65345 USPATFULL

TITLE: Highly efficient delivery of a large therapeutic mass

aerosol

INVENTOR(S): Edwards, David A., Boston, MA, UNITED STATES

Batycky, Richard P., Newton, MA, UNITED STATES Johnston, Lloyd, Belmont, MA, UNITED STATES

PATENT ASSIGNEE(S): Advanced Inhalation Research, Inc., Cambridge, MA (U.S.

corporation)

 RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 2000-591307, filed

on 9 Jun 2000, PENDING

DOCUMENT TYPE:

Utility

FILE SEGMENT:

APPLICATION

LEGAL REPRESENTATIVE:

Ms. Carolyn S. Elmore, HAMILTON, BROOK, SMITH & REYNOLDS, P.C., Two Militia Drive, Lexington, MA,

02421-4799

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

151 1

NUMBER OF DRAWINGS:

21 Drawing Page(s)

LINE COUNT:

2844

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

A method for delivering an agent to the pulmonary system, in a single, breath-activated step or a single breath, comprises administering from a receptacle enclosing a mass of particles, to a subject's respiratory tract, particles which have a tap density of less than 0.4 g/cm.sup.3 and deliver at least about 50% of the mass of particles. The particles are capable of carrying agents. The agent is (1) part of the spray-drying pre-mixture and thereby incorporated into the particles, (2) added to separately-prepared particles so that the agent is in chemical association with the particles or (3) blended so that the agent is mixed with, and co-delivered with the particles.

Respirable compositions comprising carrier particles having a tap density of less than 0.4 g/cm.sup.3 and a composition comprising an agent are also disclosed. Methods of delivering these respirable compositions are also included.

ANSWER 18 OF 21 USPATFULL on STN L4

ACCESSION NUMBER:

2002:60643 USPATFULL

TITLE:

Particles for inhalation having sustained

release properties

INVENTOR(S):

Edwards, David A., Boston, MA, UNITED STATES

Hrkach, Jeffrey S., Cambridge, MA, UNITED STATES Advanced Inhalation Research Inc., Cambridge, MA,

UNITED STATES (U.S. corporation)

NUMBER KIND DATE -----US 2002034477 A1 20020321 US 2001-822716 A1 20010330 (9)

PATENT INFORMATION: APPLICATION INFO.:

PATENT ASSIGNEE(S):

RELATED APPLN. INFO.:

Continuation-in-part of Ser. No. US 1999-383054, filed

on 25 Aug 1999, PENDING

DOCUMENT TYPE: FILE SEGMENT:

Utility APPLICATION

LEGAL REPRESENTATIVE:

Carolyn S. Elmore, HAMILTON, BROOK, SMITH & REYNOLDS. P.C., Two Militia Drive, Lexington, MA, 02421-4799

52

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

1

NUMBER OF DRAWINGS:

3 Drawing Page(s)

LINE COUNT:

1396

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The invention generally relates to a method for pulmonary delivery of therapeutic, prophylactic and diagnostic agents to a patient wherein the agent is released in a sustained fashion, and to particles suitable for use in the method. In particular, the invention relates to a method for the pulmonary delivery of a therapeutic, prophylactic or diagnostic agent comprising administering to the respiratory tract of a patient in need of treatment, prophylaxis or diagnosis an effective amount of particles comprising a multivalent metal cation which is complexed with a therapeutic, prophylactic or diagnostic agent or any combination thereof having a charge capable of complexing with the cation upon association with the

agent, a pharmaceutically acceptable carrier and optionally, a multivalent metal cation-containing component wherein the total amount of multivalent metal cation present in the **particles** is more than 1% weight/weight of the total weight of the agent (% w/w). Release of the agent from the administered **particles** occurs in a sustained fashion.

L4 ANSWER 19 OF 21 USPATFULL on STN

ACCESSION NUMBER: 2001:193968 USPATFULL

TITLE: Modulation of release from dry powder

formulations

INVENTOR(S): Basu, Sujit K., Cambridge, MA, United States

Caponetti, Giovanni, Somerville, MA, United States Deaver, Daniel R., Franklin, MA, United States Elbert, Katharina J., Cambridge, MA, United States Hrkach, Jeffrey S., Cambridge, MA, United States Lipp, Michael M., Framingham, MA, United States

PATENT ASSIGNEE(S): Advanced Inhalation Research, Inc., Cambridge, MA,

United States, 02139 (U.S. corporation)

NUMBER KIND DATE

PATENT INFORMATION: US 2001036481 A1 20011101 APPLICATION INFO.: US 2001-792869 A1 20010223 (9)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 2000-644736, filed

on 23 Aug 2000, PENDING

NUMBER DATE

PRIORITY INFORMATION: US 1999-150742P 19990825 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: HAMILTON BROOK SMITH AND REYNOLDS, P.C., TWO MILITIA

DR, LEXINGTON, MA, 02421-4799

NUMBER OF CLAIMS: 41 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 9 Drawing Page(s)

LINE COUNT: 1529

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB particles which include a bioactive agent are prepared to have a desired matrix transition temperature. Delivery of the particles via the pulmonary system results in modulation of drug release from the particles. Sustained release and/or sustained pharmacologic action of the drug can be obtained by forming particles which include a combination of phospholipids that are miscible in one another and have a high matrix transition temperature.

L4 ANSWER 20 OF 21 EUROPATFULL COPYRIGHT 2003 WILA on STN

GRANTED PATENT - ERTEILTES PATENT - BREVET DELIVRE

ACCESSION NUMBER: 1137399 EUROPATFULL EW 200320 FS PS TITLE: IMPROVEMENTS IN OR RELATING TO **POWDERS**.

VERBESSERUNGEN IN BEZUG AUF PULVER.

AMELIORATIONS APPORTEES A DES POUDRES.

INVENTOR(S): GANDERTON, David, Crooked Chimneys Cheriton Bishop,

Exeter EX6 6JL, GB;

MORTON, David Alexander Vodden, 2nd Floor Flat Linsley

House Beechen Cliff Road, Bath BA2 4QR, GB;

LUCAS, Paul, 5 Felderland Close Felderland Lane, Worth

Deal CT14 OPB, GB

PATENT ASSIGNEE(S): Vectura Limited, 12 St. James's Square, London SW1Y 4RB,

GB

PATENT ASSIGNEE NO: 3050110

AGENT: Jump, Timothy John Simon et al., Venner Shipley & Co. 20

Little Britain, London EC1A 7DH, GB

AGENT NUMBER: 55592

OTHER SOURCE: MEPB2003026 EP 1137399 B1 0017

SOURCE: Wila-EPS-2003-H20-T1

DOCUMENT TYPE: Patent

LANGUAGE: Anmeldung in Englisch; Veroeffentlichung in Englisch
DESIGNATED STATES: R AT; R BE; R CH; R CY; R DE; R DK; R ES; R FI; R FR; R

GB; R GR; R IE; R IT; R LI; R LU; R MC; R NL; R PT; R

SE; R LT; R LV; R SI

PATENT INFO.PUB.TYPE: EPB1 EUROPAEISCHE PATENTSCHRIFT (Internationale

Anmeldung)

PATENT INFORMATION:

PATENT NO KIND DATE

EP 1137399 B1 20030514

'OFFENLEGUNGS' DATE: 20011004

APPLICATION INFO.: EP 1999-958404 19991209

PRIORITY APPLN. INFO.: GB 1998-27145 19981209

RELATED DOC. INFO.: WO 99-GB4156 991209 INTAKZ

WO 00033811 000615 INTPNR REFERENCE PAT. INFO.: WO 96-23485 A WO 96-32096 A

WO 97-03649 A

L4 ANSWER 21 OF 21 EUROPATFULL COPYRIGHT 2003 WILA on STN

PATENT APPLICATION - PATENTANMELDUNG - DEMANDE DE BREVET

ACCESSION NUMBER: 1129705 EUROPATFULL EW 200136 FS OS

TITLE: **Powder** formulation for inhalation. Pulverformulierung zur Inhalation.

Formulation en poudre pour inhalation.

INVENTOR(S): Heijerman, Hendrikus, Gerardus Maria, Azuriet 14, 2719

GL Zoetermeer, NL;

Frijlink, Henderik Willem, Hemstukken 19, 9761 KM Eelde,

NL;

Le Brun, Petrus Paulus Hendricus, De Mildestraat 21,

2596 SV The Hague, NL;

de Boer, Anne Haaije, Bouriciuslaan 53, 9203 PC

Drachten, NL

PATENT ASSIGNEE(S): Rijksuniversiteit te Groningen, Broerstraat 5, 9712 CP

Groningen, NL

PATENT ASSIGNEE NO: 406260

AGENT: Ottevangers, Sietse Ulbe et al., Vereeniqde, Postbus

87930, 2508 DH Den Haag, NL

AGENT NUMBER: 20841

OTHER SOURCE: BEPA2001070 EP 1129705 A1 0017

SOURCE: Wila-EPZ-2001-H36-T1b

DOCUMENT TYPE: Patent

LANGUAGE: Anmeldung in Englisch; Veroeffentlichung in Englisch
DESIGNATED STATES: R AT; R BE; R CH; R CY; R DE; R DK; R ES; R FI; R FR; R
GB; R GR; R IE; R IT; R LI; R LU; R MC; R NL; R PT; R

SE; R AL; R LT; R LV; R MK; R RO; R SI

PATENT INFO. PUB. TYPE: EPA1 EUROPAEISCHE PATENTANMELDUNG

PATENT INFORMATION:

'OFFENLEGUNGS' DATE: 20010905

APPLICATION INFO.: EP 2000-200550 20000217
ABEN The invention relates to a **powder** formulation for

administration by inhalation comprising an active substance and a pharmaceutically acceptable excipient, which composition has the form of a physical mixture and comprises from 5 to 25 wt.% of the excipient, and

wherein the active substance has a **particle** size distribution of from 0.5 to 10 .mu.m, and wherein the excipient has a **particle** size distribution of from 15 to 500 .mu.m.

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ANSWER 1 OF 21 USPATFULL on STN

ACCESSION NUMBER: 2003:187463 USPATFULL

TITLE: Particulate compositions for improving

solubility of poorly soluble agents

INVENTOR(S): Batycky, Richard P., Newton, MA, UNITED STATES Grandolfi, George, Milford, OH, UNITED STATES

Plunkett, Sean, Westborough, MA, UNITED STATES Lipp, Michael M., Framingham, MA, UNITED STATES

Wright, James, Lexington, MA, UNITED STATES

PATENT ASSIGNEE(S): Advanced Inhalation Research Inc., Cambridge, MA,

UNITED STATES (U.S. corporation)

NUMBER KIND DATE -----US 2003129250 A1 20030710 US 2002-300726 A1 20021120 (10) PATENT INFORMATION: APPLICATION INFO.:

> NUMBER DATE -----

PRIORITY INFORMATION: US 2001-331810P 20011120 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: HAMILTON, BROOK, SMITH & REYNOLDS, P.C., 530 VIRGINIA

ROAD, P.O. BOX 9133, CONCORD, MA, 01742-9133

NUMBER OF CLAIMS: 63 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 9 Drawing Page(s)

LINE COUNT: 1606

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The invention is drawn to particles for oral drug delivery

produced by spray-drying a dilute solution of a poorly soluble agent.

The particles comprise regions of poorly soluble agent wherein the dissolution rate enhancement is between about 2-fold and about

25-fold compared to the agent in bulk form.

ANSWER 2 OF 21 USPATFULL on STN L4

ACCESSION NUMBER: 2003:187354 USPATFULL

TITLE: Pulmonary delivery of aminoglycosides

INVENTOR(S):

Tarara, Thomas E., Burlingame, CA, UNITED STATES Weers, Jeffry G., Half Moon Bay, CA, UNITED STATES Venthoye, Geraldine, Foster City, CA, UNITED STATES

NUMBER KIND DATE -----US 2003129140 A1 20030710 US 2002-327510 A1 20021219 PATENT INFORMATION: APPLICATION INFO.: A1 20021219 (10)

> NUMBER DATE -----

PRIORITY INFORMATION: US 2001-342827P 20011219 (60)

DOCUMENT TYPE: Utility APPLICATION FILE SEGMENT:

LEGAL REPRESENTATIVE: NEKTAR THERAPEUTICS, 150 INDUSTRIAL ROAD, SAN CARLOS,

CA, 94070

NUMBER OF CLAIMS: 35 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 4 Drawing Page(s)

LINE COUNT: 1023

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention is directed to the administration of aminoglycosides. In particular, the present invention is

directed to compositions and methods for the pulmonary administration of aminoglycosides. According to a preferred embodiment, compositions and methods are provided for the localized treatment of respiratory

infections.

L4 ANSWER 3 OF 21 USPATFULL on STN

ACCESSION NUMBER: 2003:181404 USPATFULL

TITLE: Particles for inhalation having rapid release

properties

INVENTOR(S): Schmitke, Jennifer L., Boston, MA, UNITED STATES

Chen, Donghao, Lexington, MA, UNITED STATES
Batycky, Richard P., Newton, MA, UNITED STATES
Edwards, David A., Boston, MA, UNITED STATES

PATENT ASSIGNEE(S): Advenced Inhalation Research, Inc., Cambridge, MA,

UNITED STATES (U.S. corporation)

NUMBER KIND DATE
US 2003125236 A1 20030703
US 2002-179463 A1 20030634

APPLICATION INFO.: US 2002-179463 A1 20020624 (10)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 2001-888126, filed

on 22 Jun 2001, PENDING Continuation-in-part of Ser. No. US 2000-752109, filed on 29 Dec 2000, ABANDONED

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: HAMILTON, BROOK, SMITH & REYNOLDS, P.C., 530 VIRGINIA

ROAD, P.O. BOX 9133, CONCORD, MA, 01742-9133

NUMBER OF CLAIMS: 79 EXEMPLARY CLAIM: 1

PATENT INFORMATION:

NUMBER OF DRAWINGS: 7 Drawing Page(s)

LINE COUNT: 2328

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The invention generally relates to formulations having particles comprising phospholipids, bioactive agent and excipients and the pulmonary delivery thereof. Dry powder inhaled insulin formulations are disclosed. Improved formulations comprising DPPC, insulin and sodium citrate which are useful in the treatment of diabetes are disclosed. Also, the invention relates to a method of for the pulmonary delivery of a bioactive agent comprising administering to the respiratory tract of a patient in need of treatment, or diagnosis an effective amount of particles comprising a bioactive agent or any combination thereof in association, wherein release of the agent from the administered particles occurs in a rapid fashion.

L4 ANSWER 4 OF 21 USPATFULL on STN

ACCESSION NUMBER: 2003:172669 USPATFULL

TITLE: Particles for inhalation having sustained

release properties

INVENTOR(S): Basu, Sujit K., Cambridge, MA, UNITED STATES

Hrkach, Jeffrey, Cambridge, MA, UNITED STATES Lipp, Michael, Framingham, MA, UNITED STATES Elbert, Katharina, Cambridge, MA, UNITED STATES Edwards, David A., Cambridge, MA, UNITED STATES

PATENT ASSIGNEE(S): Advanced Inhalation Research, Inc., Cambridge, MA (U.S.

corporation)

NUMBER KIND DATE ----**-----**----- ------

US 2003118513 A1 20030626 US 2002-202616 A1 20020723 PATENT INFORMATION:

APPLICATION INFO.: (10)

Continuation of Ser. No. US 2000-752109, filed on 29 RELATED APPLN. INFO.:

Dec 2000, ABANDONED

DOCUMENT TYPE: Utility APPLICATION FILE SEGMENT:

LEGAL REPRESENTATIVE: HAMILTON, BROOK, SMITH & REYNOLDS, P.C., 530 VIRGINIA

ROAD, P.O. BOX 9133, CONCORD, MA, 01742-9133

NUMBER OF CLAIMS: 47 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 3 Drawing Page(s)

LINE COUNT: 1745

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The invention generally relates to a method for pulmonary delivery of therapeutic, prophylactic and diagnostic agents to a patient wherein the agent is released in a sustained fashion, and to particles suitable for use in the method. In particular, the invention relates to a method for the pulmonary delivery of a therapeutic, prophylactic or diagnostic agent comprising administering to the respiratory tract of a patient in need of treatment, prophylaxis or diagnosis an effective amount of particles comprising a therapeutic, prophylactic or diagnostic agent or any combination thereof in association with a charged lipid, wherein the charged lipid has an overall net charge which is opposite to that of the agent upon association with the agent. Release of the agent from the administered particles occurs in a sustained fashion.

ANSWER 5 OF 21 USPATFULL on STN **L4**

ACCESSION NUMBER: 2003:172666 USPATFULL

TITLE: Pulmonary administration of chemically modified insulin

INVENTOR(S): Patton, John S., Los Altos, CA, UNITED STATES

Kuo, Mei-Chang, Palo Alto, CA, UNITED STATES Harris, J. Milton, Huntsville, AL, UNITED STATES Leach, Chester, El Granada, CA, UNITED STATES Perkins, Kimberly, Belmont, CA, UNITED STATES Bueche, Blaine, Castro Valley, CA, UNITED STATES

KIND DATE NUMBER ___________ US 2003118510 A1 20030626 US 2002-154057 A1 20020521 A1 20020521 (10)

NUMBER DATE

-----PRIORITY INFORMATION: US 2001-292423P 20010521 (60)

DOCUMENT TYPE: Utility APPLICATION FILE SEGMENT:

LEGAL REPRESENTATIVE: INHALE THERAPEUTIC SYSTEMS, INC, 150 INDUSTRIAL ROAD,

SAN CARLOS, CA, 94070

NUMBER OF CLAIMS: 77 EXEMPLARY CLAIM: 1

PATENT INFORMATION: APPLICATION INFO.:

NUMBER OF DRAWINGS: 14 Drawing Page(s)

LINE COUNT: 2552

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention provides active, hydrophilic polymer-modified derivatives of insulin. The insulin derivatives of the invention are, in one aspect, suitable for delivery to the lung and exhibit pharmakokinetic and/or pharmacodynamic properties that are significantly

improved over native insulin.

ACCESSION NUMBER: 2003:99173 USPATFULL

TITLE: Particles for inhalation having sustained

release properties

INVENTOR(S): Vanbever, Rita, Brussels, BELGIUM

Langer, Robert S., Newton, MA, UNITED STATES Edwards, David A., Boston, MA, UNITED STATES Mintzes, Jeffrey, Brighton, MA, UNITED STATES

Wang, Jue, Clifton, NJ, UNITED STATES

Chen, Donghao, Lexington, MA, UNITED STATES

PATENT ASSIGNEE(S): Massachusetts Institute of Technology, Cambridge, MA,

UNITED STATES (non-U.S. corporation)

NUMBER KIND DATE

PATENT INFORMATION: US 2003068277 A1 20030410 APPLICATION INFO.: US 2002-94955 A1 20020307 (10)

RELATED APPLN. INFO.: Continuation of Ser. No. US 2001-909145, filed on 19

Jul 2001, ABANDONED Continuation-in-part of Ser. No. US

1999-394233, filed on 13 Sep 1999, PENDING

Continuation-in-part of Ser. No. US 1997-971791, filed

on 17 Nov 1997, GRANTED, Pat. No. US 5985309

NUMBER DATE

PRIORITY INFORMATION: US 1997-59004P 19970915 (60)

DOCUMENT TYPE: Utility

FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: HAMILTON, BROOK, SMITH & REYNOLDS, P.C., 530 VIRGINIA

ROAD, P.O. BOX 9133, CONCORD, MA, 01742-9133

NUMBER OF CLAIMS: 51 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 2 Drawing Page(s)

LINE COUNT: 1469

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The invention generally relates to a method for pulmonary delivery of therapeutic, prophylactic and diagnostic agents to a patient wherein the agent is released in a sustained fashion, and to particles suitable for use in the method. In particular, the invention relates to a method for the pulmonary delivery of a therapeutic, prophylactic or diagnostic agent comprising administering to the respiratory tract of a patient in need of treatment, prophylaxis or diagnosis an effective amount of particles comprising a polycationic complexing agent which is complexed with a therapeutic, prophylactic or diagnostic agent or any combination thereof having a charge capable of complexing with the polycationic complexing agent upon association with the bioactive agent. The particles can further comprise a pharmaceutically acceptable carrier. The amount of polycationic complexing agent present in the particles is an amount sufficient to sustain the release of diagnostic, therapeutic or prophylactic agent from the particles. For example, the amount of complexing agent present can be at about 5% weight/weight (w/w) or more of the total weight of the complexing agent and therapeutic, diagnostic or prophylactic agent. Release of the agent from the administered particles occurs in a sustained fashion.

L4 ANSWER 7 OF 21 USPATFULL on STN

ACCESSION NUMBER: 2003:78040 USPATFULL TITLE: Powder formulation

INVENTOR(S): Heijerman, Hendrikus Gerardus M., Zoetermeer,

NETHERLANDS

Le Brun, Petrus Paulus H., The Hague, NETHERLANDS Frijlink, Henderik Willem, Eelde, NETHERLANDS de Boer, Anne Haaije, Drachten, NETHERLANDS

PATENT ASSIGNEE(S): Rijksuniversiteit Groningen, Groningen, NETHERLANDS